Registry / Marpat



```
=> file registry
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    9 MAR 2006  HIGHEST RN 876338-69-1
DICTIONARY FILE UPDATES:   9 MAR 2006  HIGHEST RN 876338-69-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> file caplus
FILE 'CAPLUS' ENTERED AT 15:11:35 ON 10 MAR 2006
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FILE COVERS 1907 - 10 Mar 2006  VOL 144 ISS 12
FILE LAST UPDATED: 9 Mar 2006  (20060309/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
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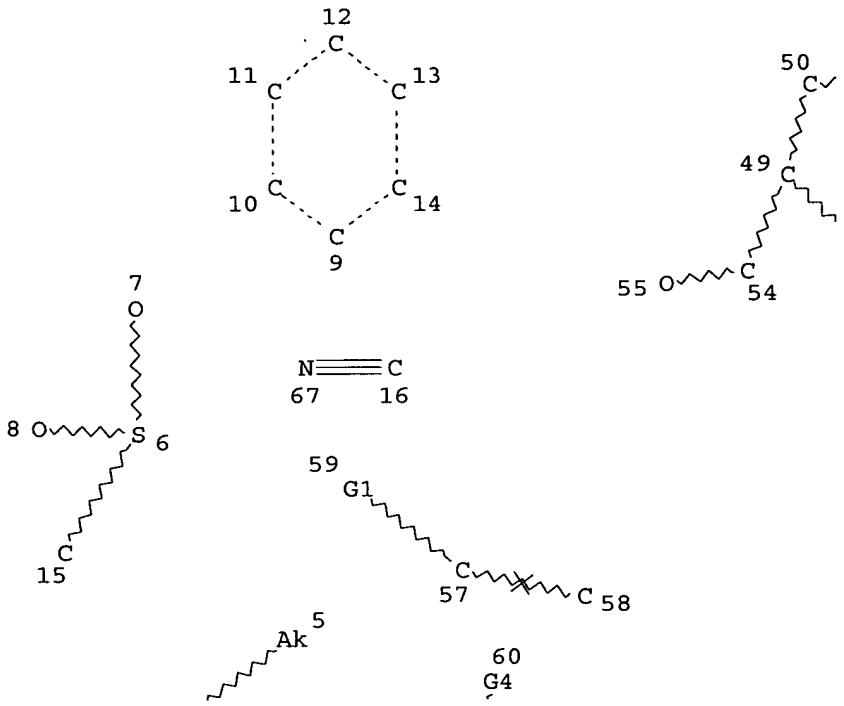
They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d stat que L9
L6 STR

O 68 S 69

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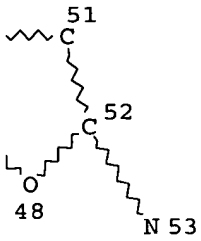


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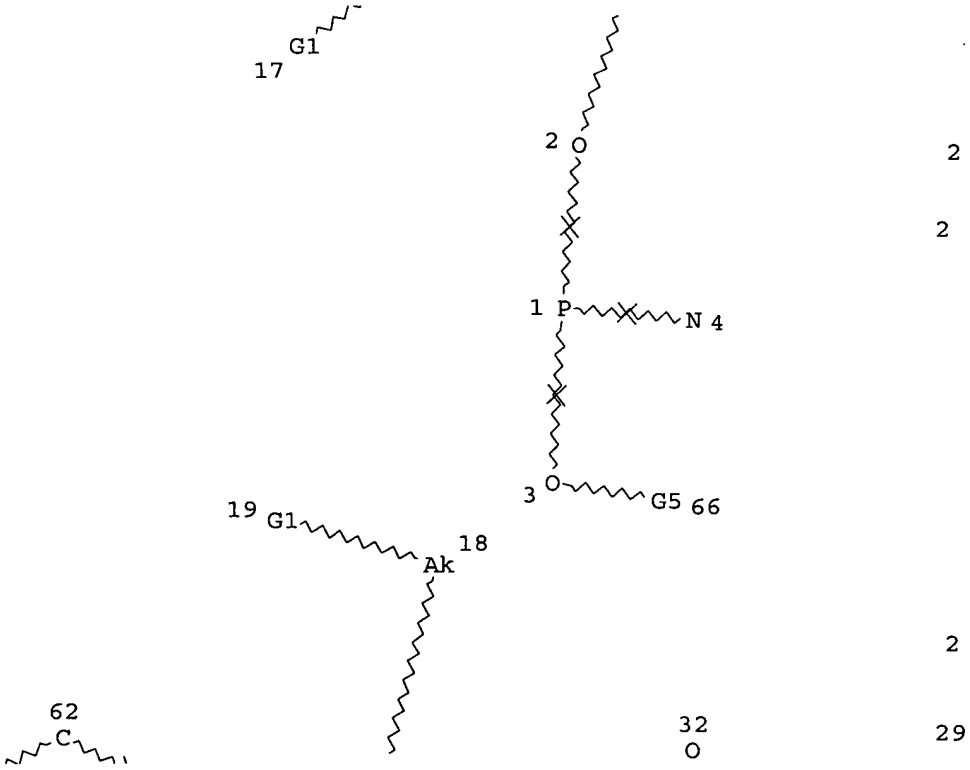


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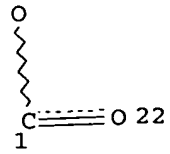


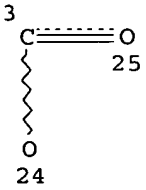


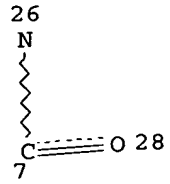


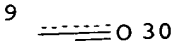


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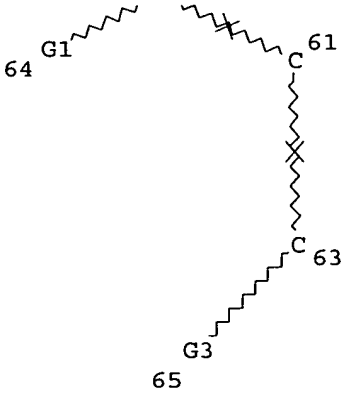



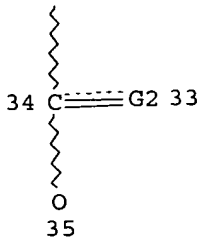


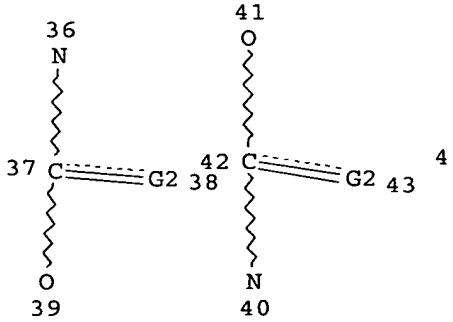


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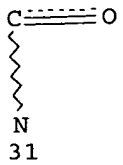


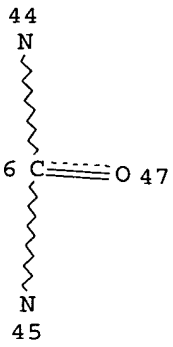


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VAR G2=68/69
VAR G3=20/23/26/29/32/36/41/44
VAR G4=5/58
VAR G5=18/61
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          57 58 61 62 63 67 68 69
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  69

STEREO ATTRIBUTES: NONE
L8            22 SEA FILE=REGISTRY SSS FUL L6
L9             2 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8


=> file marpat
FILE 'MARPAT' ENTERED AT 15:11:59 ON 10 MAR 2006
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FILE CONTENT: 1969-PRESENT VOL 144 ISS 10 (20060303/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

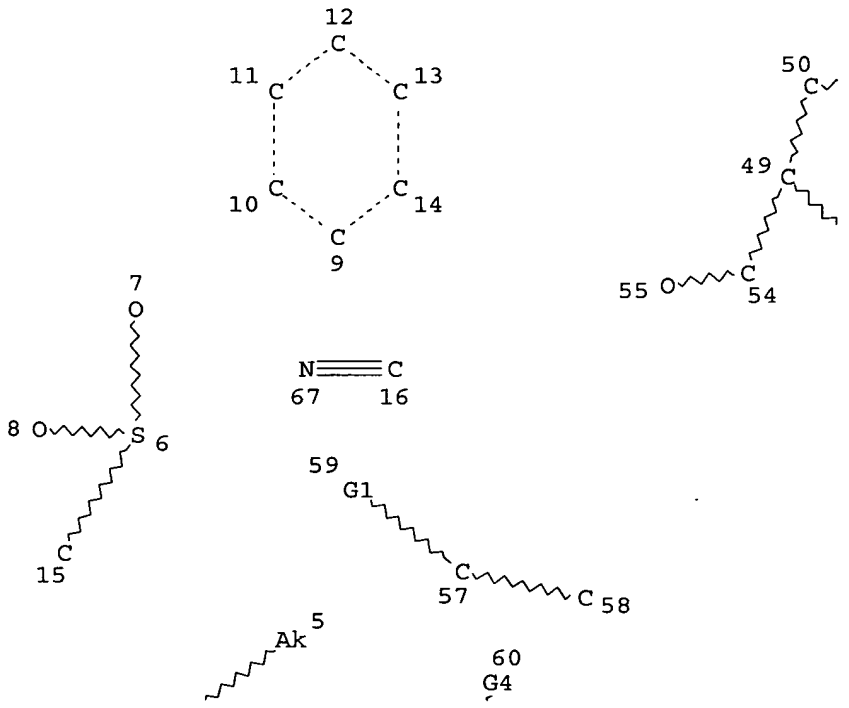
| | | |
|---|---|---|
| US | 2006014764 | 19 JAN 2006 |
| DE | 202005014897 | 22 DEC 2005 |
| EP | 1609846 | 28 DEC 2005 |
| JP | 2005353222 | 22 DEC 2005 |
| WO | 2006003494 | 12 JAN 2006 |
| GB | 2415429 | 28 DEC 2005 |
| FR | 2871802 | 23 DEC 2005 |
| RU | 2266908 | 27 DEC 2005 |
| CA | 2495134 | 23 DEC 2005 |

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d stat que L16
L13 STR

O 68 S 69

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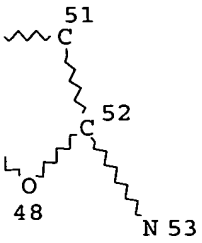


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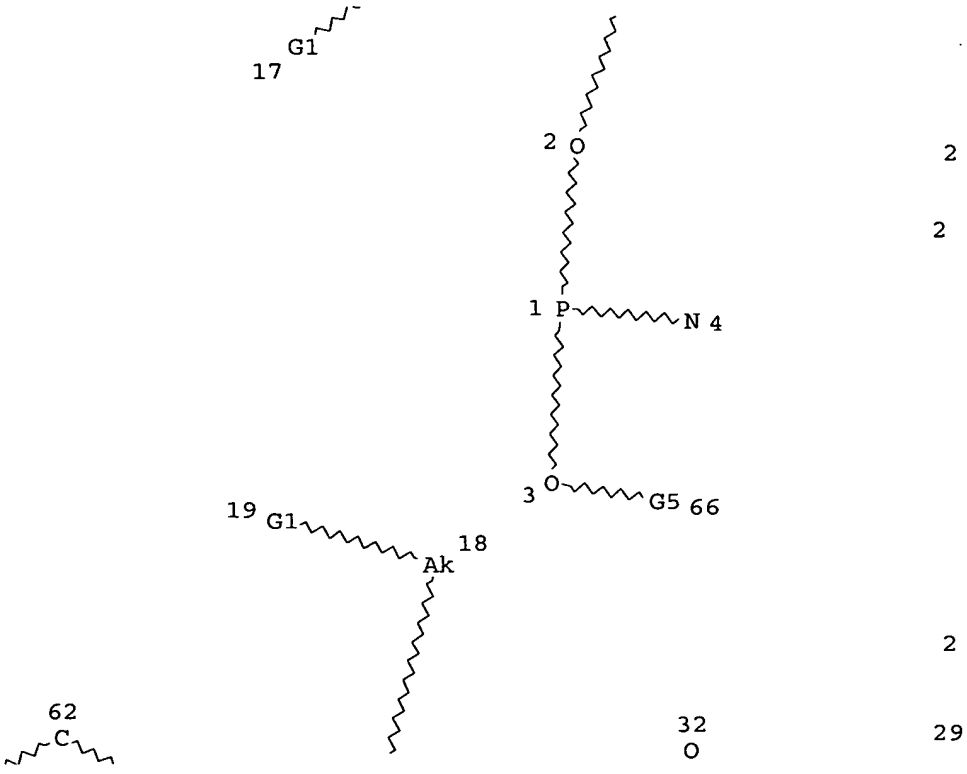


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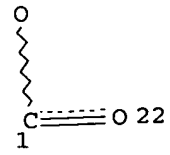


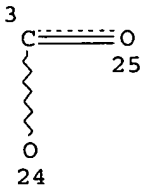


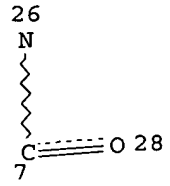


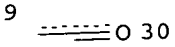


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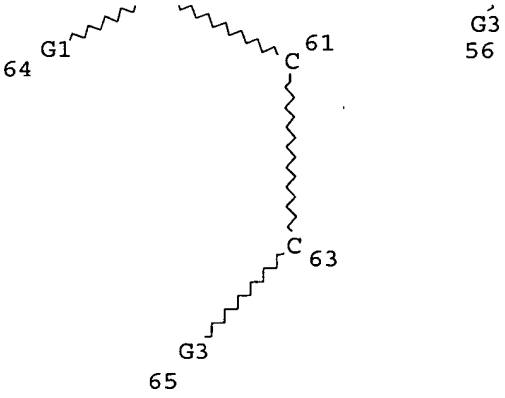


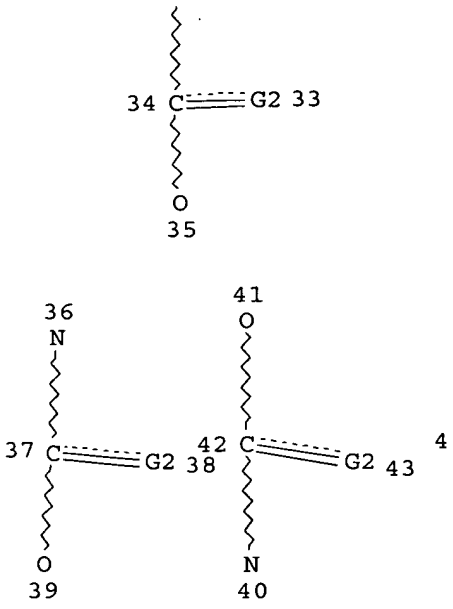


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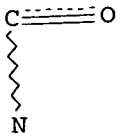


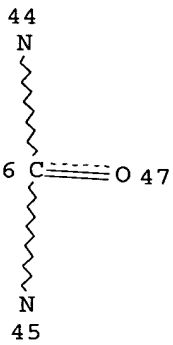


Page 3-B
VAR G1=6/13/16
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NODE ATTRIBUTES:

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          68 69
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  69

STEREO ATTRIBUTES: NONE
L16           4 SEA FILE=MARPAT SSS FUL L13

100.0% PROCESSED     729 ITERATIONS                          4 ANSWERS
SEARCH TIME: 00.00.29


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FILE 'MARPAT' ENTERED AT 15:12:12 ON 10 MAR 2006

PROCESSING COMPLETED FOR L9
PROCESSING COMPLETED FOR L16
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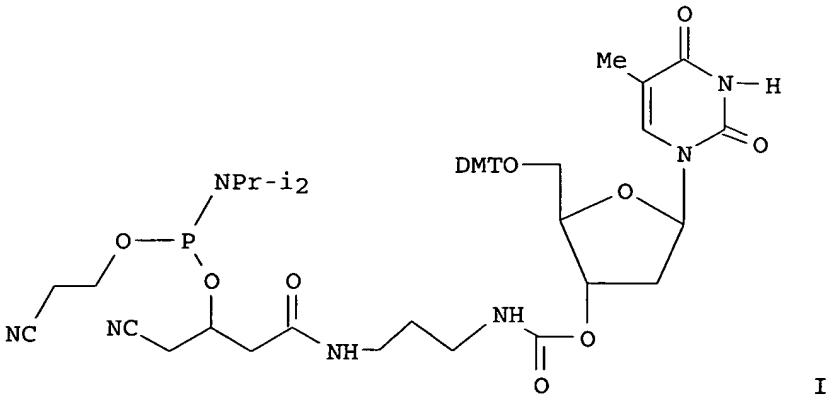
ANSWERS '1-2' FROM FILE CAPLUS
ANSWERS '3-5' FROM FILE MARPAT

=> d ibib abs hitstr L23 1-2; d ibib abs hit L23 3-5

L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2004:999708 CAPLUS
DOCUMENT NUMBER: 141:424386
TITLE: Novel phosphorylation reagents for improved processes to convert terminal-hydroxyl groups of oligonucleotides into phosphate mono-esters
INVENTOR(S): Vagle, Kurt; Leuck, Michael; Wolter, Andreas
PATENT ASSIGNEE(S): Proligo, Llc, USA
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2004230047 | A1 | 20041118 | US 2004-821631 | | 20040409 |
| PRIORITY APPLN. INFO.: | | | US 2003-461730P | P | 20030409 |

OTHER SOURCE(S): MARPAT 141:424386
GI




I

AB The present invention discloses novel phosphoramidite reagents for use in

oligonucleotide synthesis. The present invention further discloses novel methods for the conversion of terminal hydroxyl groups of oligonucleotides into phosphate mono-esters. By employing novel reagents, as also disclosed herein, the methods are fully compatible with standard procedures for solid phase oligonucleotide synthesis and do not require addnl. processing steps. The inventive reagents to phosphorylate terminal hydroxyl groups of oligonucleotides are superior to the prior art in that they for the first time combine the desired attributes of being a solid compound for facile handling, comprising two β-eliminating protective groups removable as fast or faster than the standard cyanoethyl group, providing a DMT-group for easy monitoring of the coupling efficiency, and enabling a fast final deprotection of the phosphorylated oligonucleotide without any extra manipulation steps. Thus nucleoside phosphoramidites I was prepared in synthesis of oligodeoxyribonucleotides.

IT **669013-14-3P 794520-43-7P 794520-44-8P**
**794520-45-9P 794520-46-0P 794520-47-1P**
**794520-48-2P 794520-49-3P 794520-50-6P**
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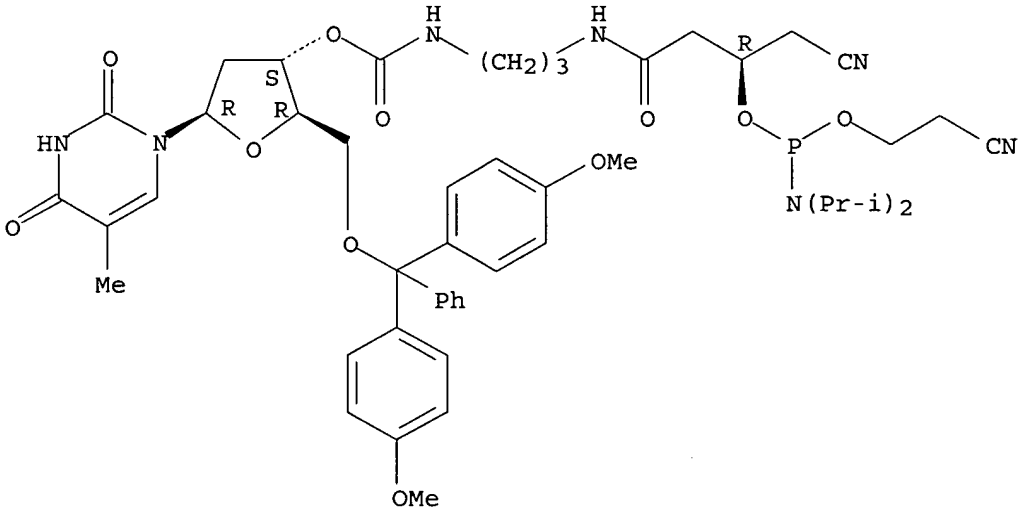
**794520-63-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phosphorylation reagents for improved processes to convert terminal-hydroxyl groups of oligonucleotides into phosphate mono-esters)

RN 669013-14-3 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[(9R)-11-[bis(1-methylethyl)amino]-14-cyano-9-(cyanomethyl)-7-oxo-10,12-dioxa-2,6-diaza-11-phosphatetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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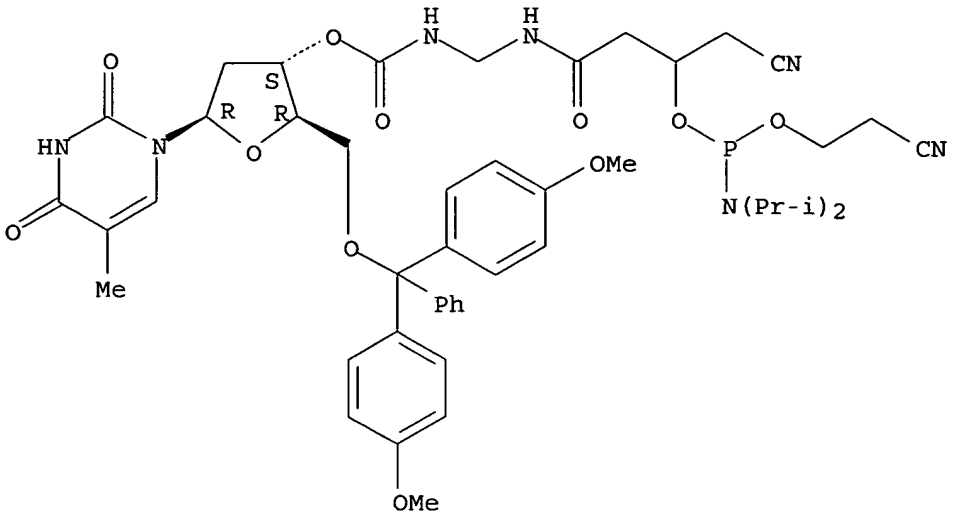
Absolute stereochemistry.



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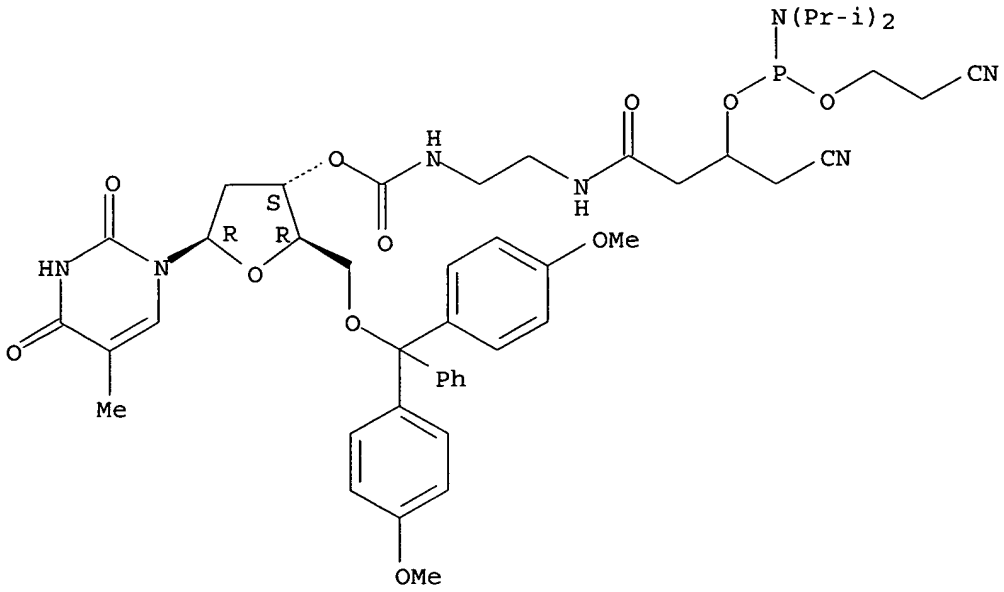
Absolute stereochemistry.



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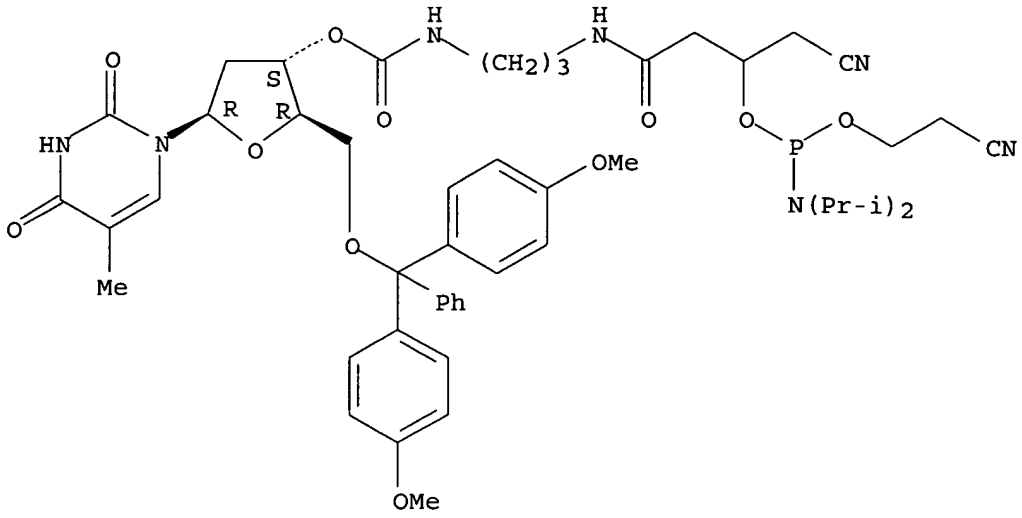
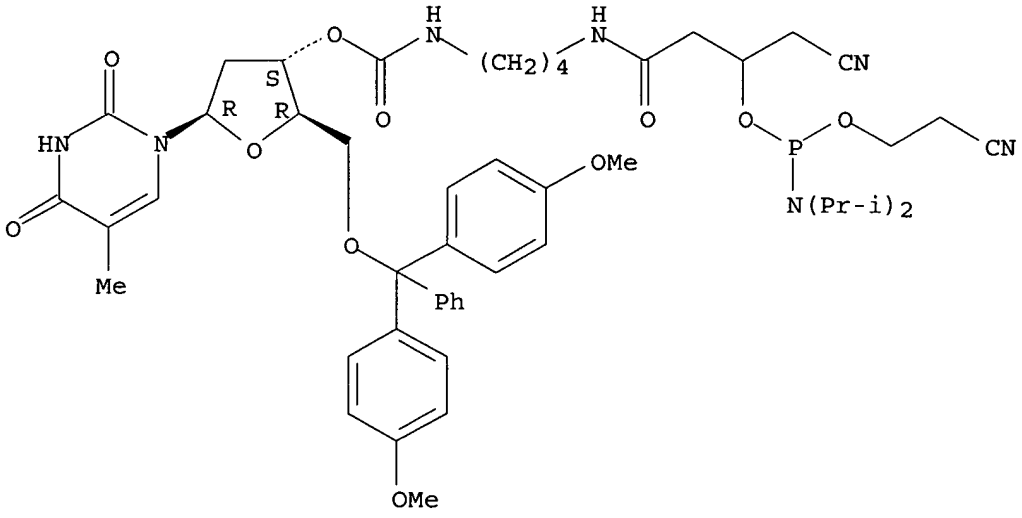
Absolute stereochemistry.



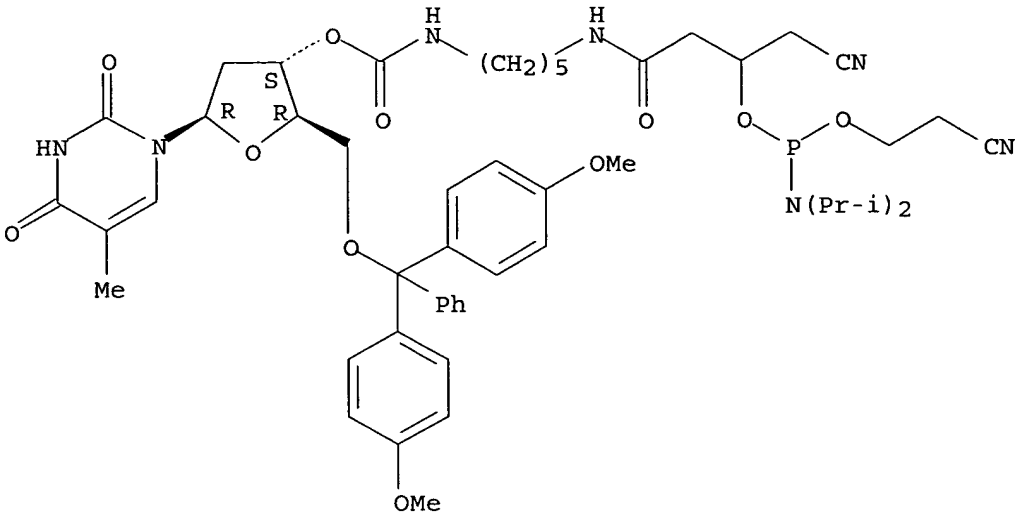
RN 794520-46-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[12-[bis(1-methylethyl)amino]-15-cyano-10-(cyanomethyl)-8-oxo-11,13-dioxa-2,7-diaza-12-phosphapentadecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



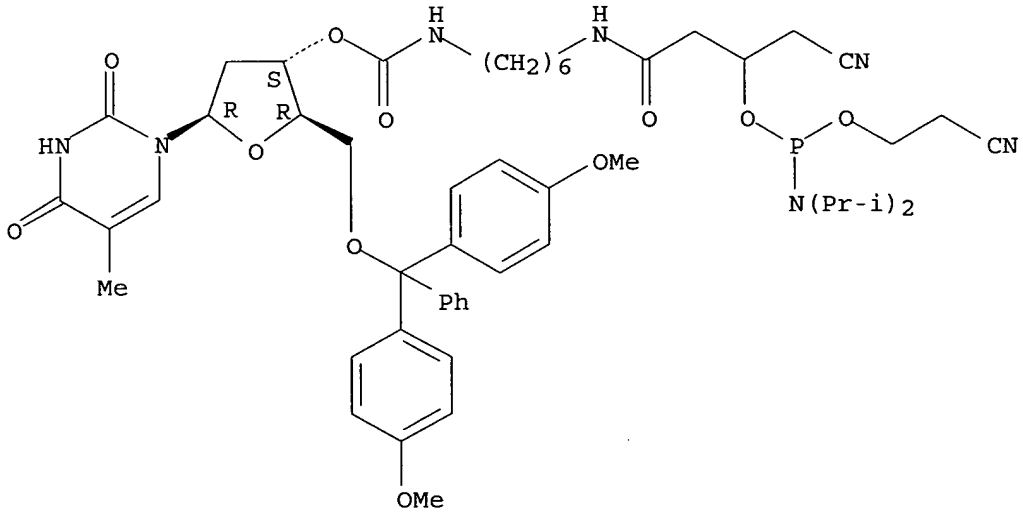
RN 794520-47-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[13-[bis(1-methylethyl)amino]-16-cyano-11-(cyanomethyl)-9-oxo-12,14-dioxa-2,8-diaza-13-phosphahexadecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



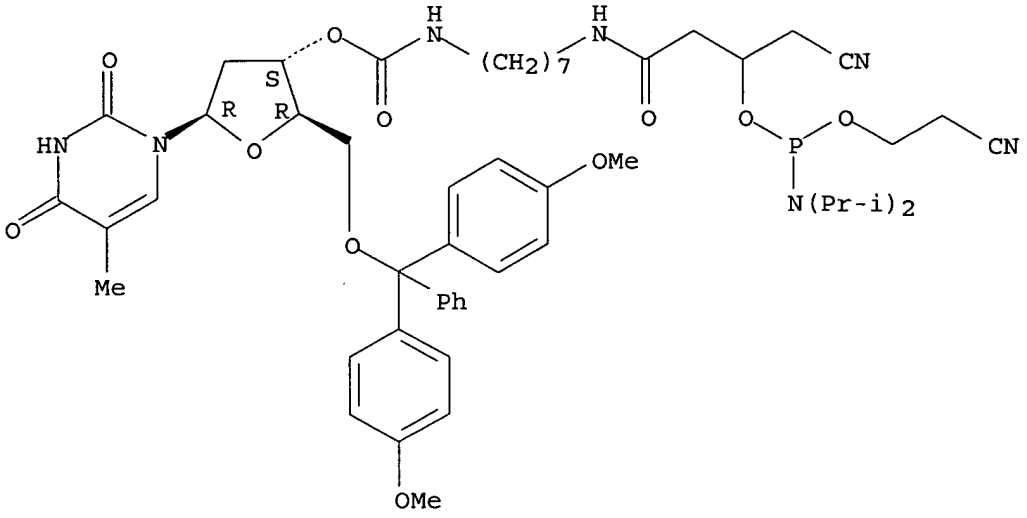
RN 794520-48-2 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[14-[bis(1-methylethyl)amino]-17-cyano-12-(cyanomethyl)-10-oxo-13,15-dioxa-2,9-diaza-14-phosphaheptadecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



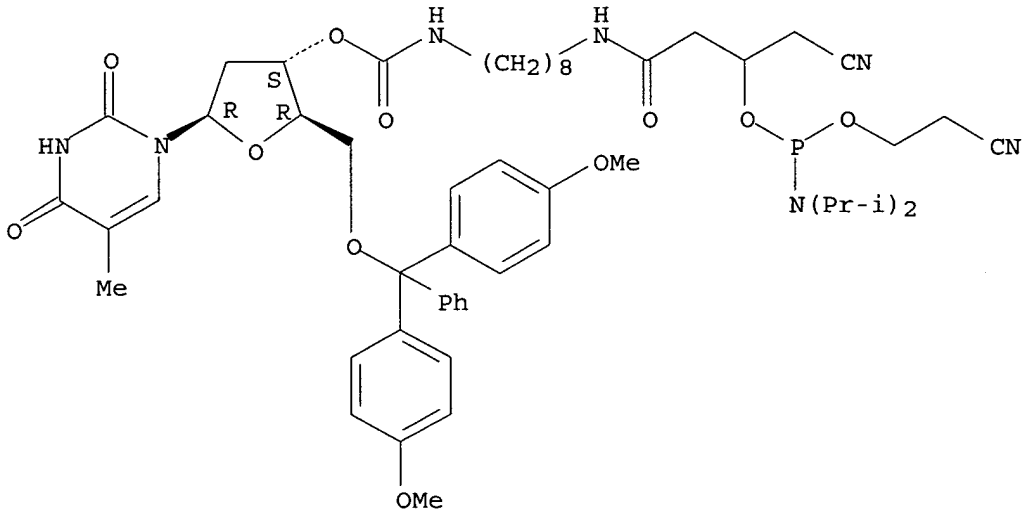
RN 794520-49-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[15-[bis(1-methylethyl)amino]-18-cyano-13-(cyanomethyl)-11-oxo-14,16-dioxa-2,10-diaza-15-phosphaoctadecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



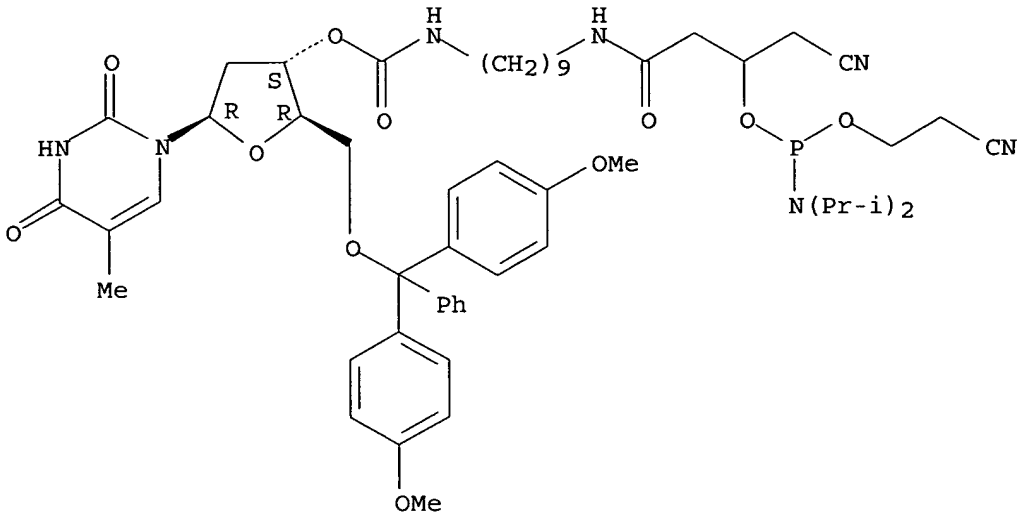
RN 794520-50-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[16-[bis(1-methylethyl)amino]-19-cyano-14-(cyanomethyl)-12-oxo-15,17-dioxa-2,11-diaza-16-phosphanonadecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



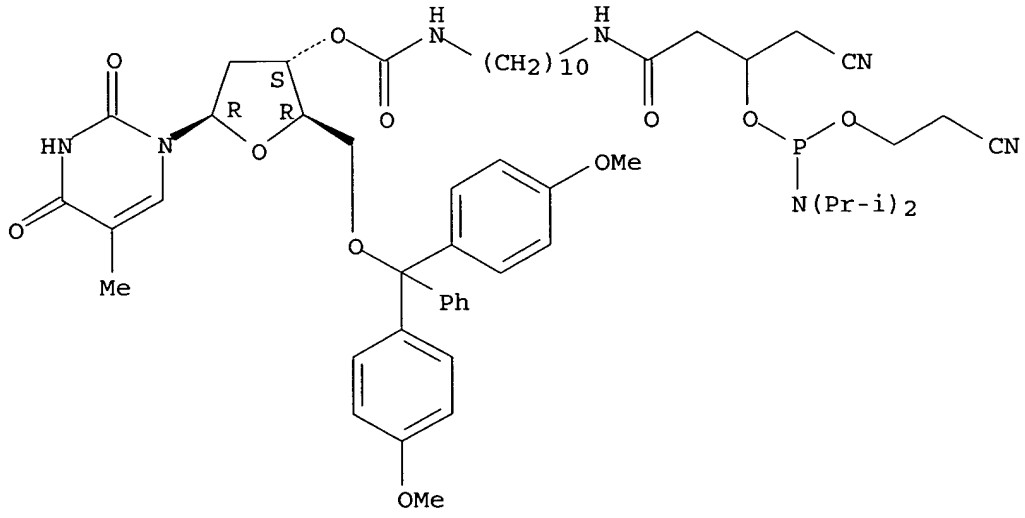
RN 794520-51-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[17-[bis(1-methylethyl)amino]-20-cyano-15-(cyanomethyl)-13-oxo-16,18-dioxa-2,12-diaza-17-phosphaeicosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



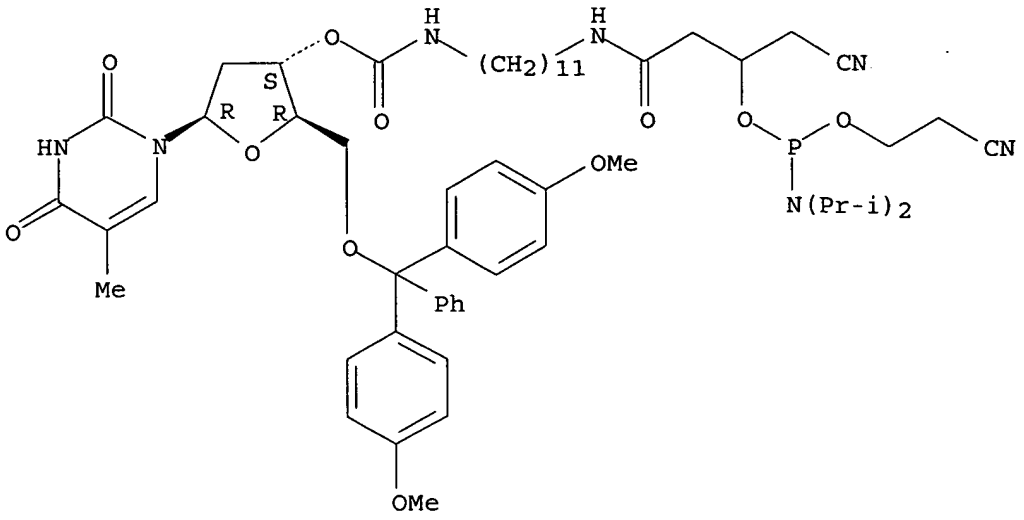
RN 794520-52-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[18-[bis(1-methylethyl)amino]-21-cyano-16-(cyanomethyl)-14-oxo-17,19-dioxa-2,13-diaza-18-phosphaheneicosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



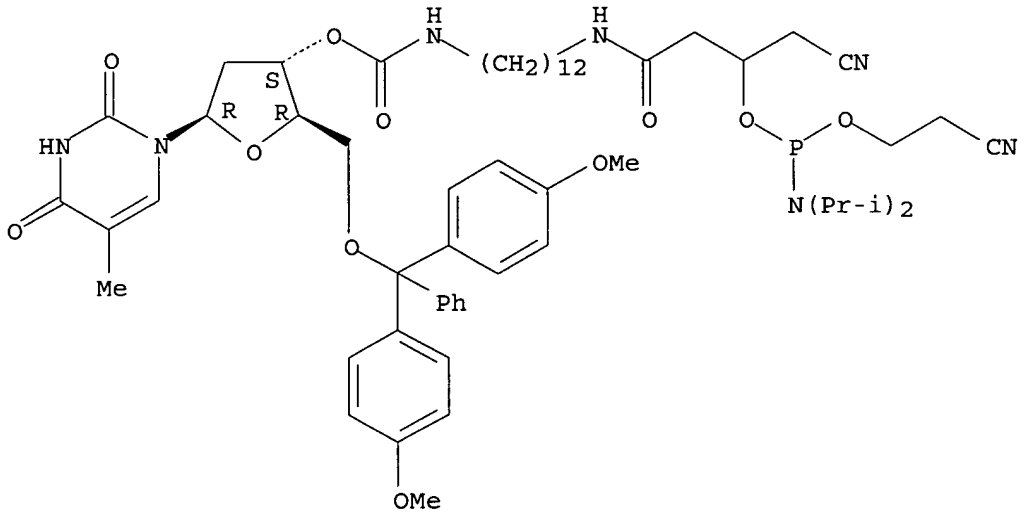
RN 794520-53-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[19-[bis(1-methylethyl)amino]-22-cyano-17-(cyanomethyl)-15-oxo-18,20-dioxa-2,14-diaza-19-phosphadocosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



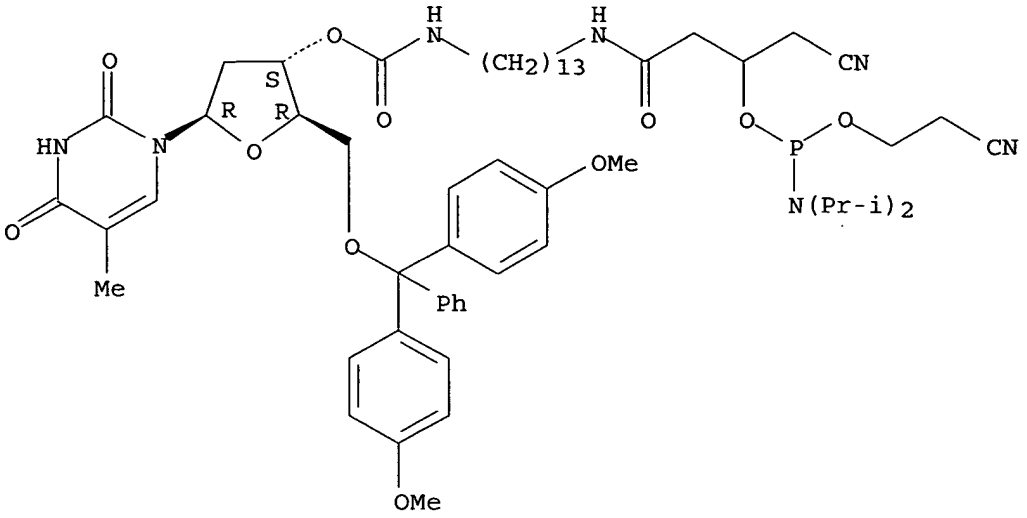
RN 794520-54-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[20-[bis(1-methylethyl)amino]-23-cyano-18-(cyanomethyl)-16-oxo-19,21-dioxa-2,15-diaza-20-phosphatricosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



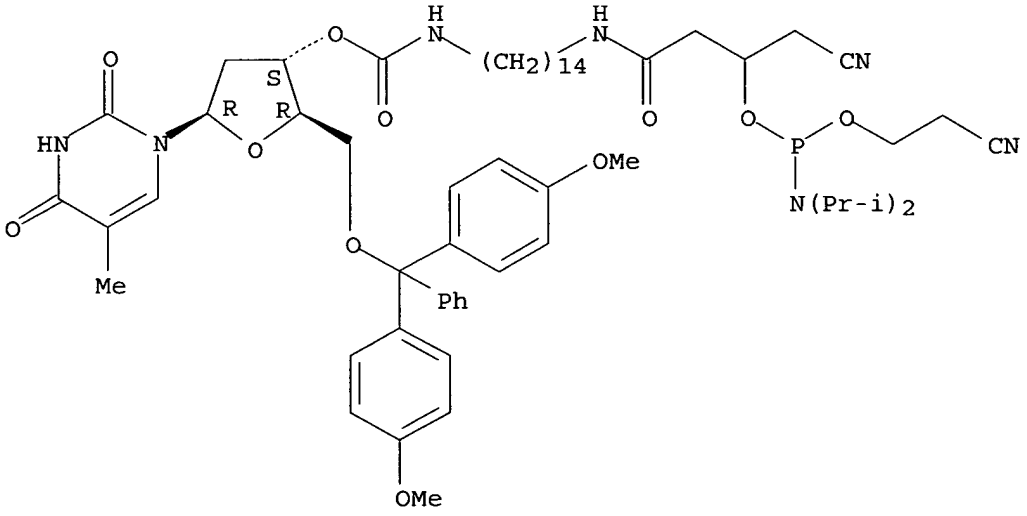
RN 794520-55-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[21-[bis(1-methylethyl)amino]-24-cyano-19-(cyanomethyl)-17-oxo-20,22-dioxa-2,16-diaza-21-phosphatetracosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



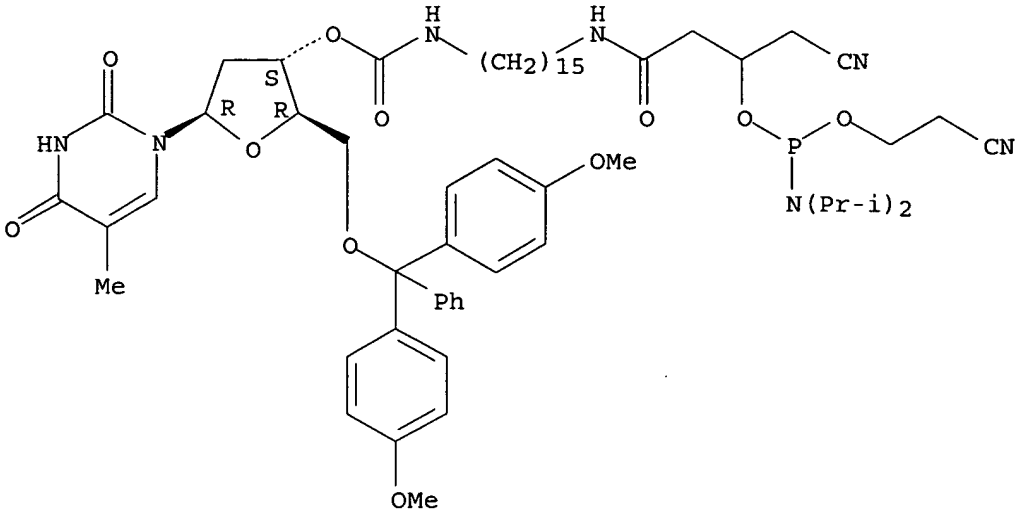
RN 794520-56-2 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[22-[bis(1-methylethyl)amino]-25-cyano-20-(cyanomethyl)-18-oxo-21,23-dioxa-2,17-diaza-22-phosphapentacosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



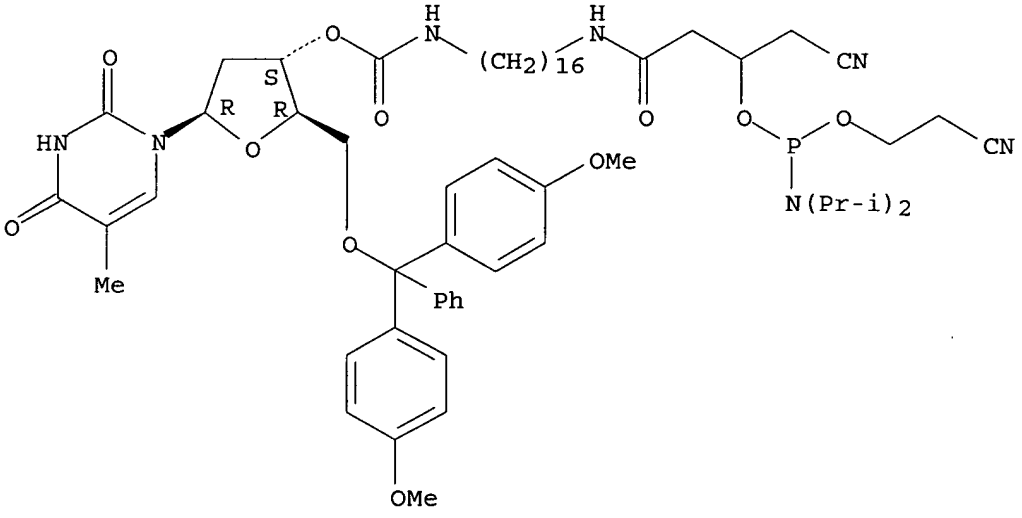
RN 794520-57-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[23-[bis(1-methylethyl)amino]-26-cyano-21-(cyanomethyl)-19-oxo-22,24-dioxa-2,18-diaza-23-phosphahexacosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



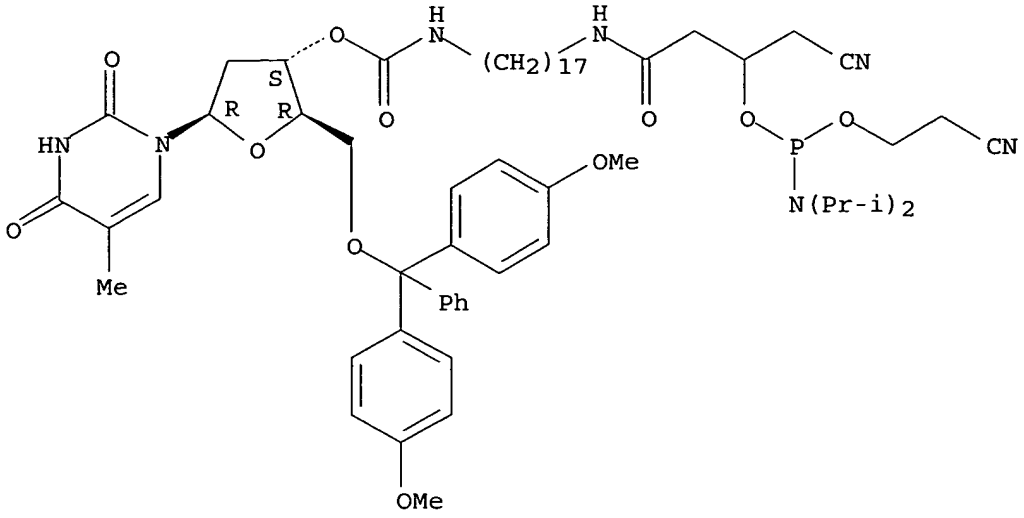
RN 794520-58-4 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[24-[bis(1-methylethyl)amino]-27-cyano-22-(cyanomethyl)-20-oxo-23,25-dioxa-2,19-diaza-24-phosphaheptacosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



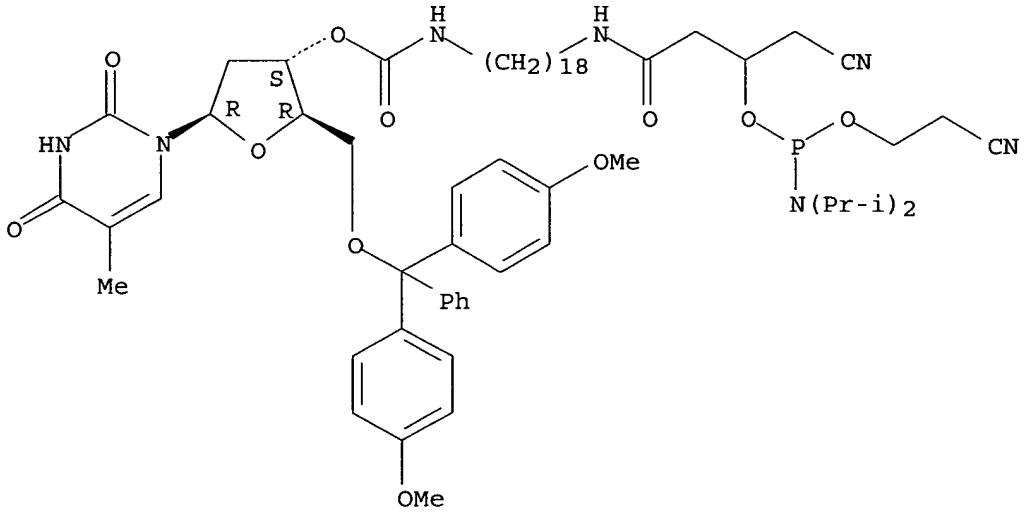
RN 794520-59-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[25-[bis(1-methylethyl)amino]-28-cyano-23-(cyanomethyl)-21-oxo-24,26-dioxa-2,20-diaza-25-phosphaoctacosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



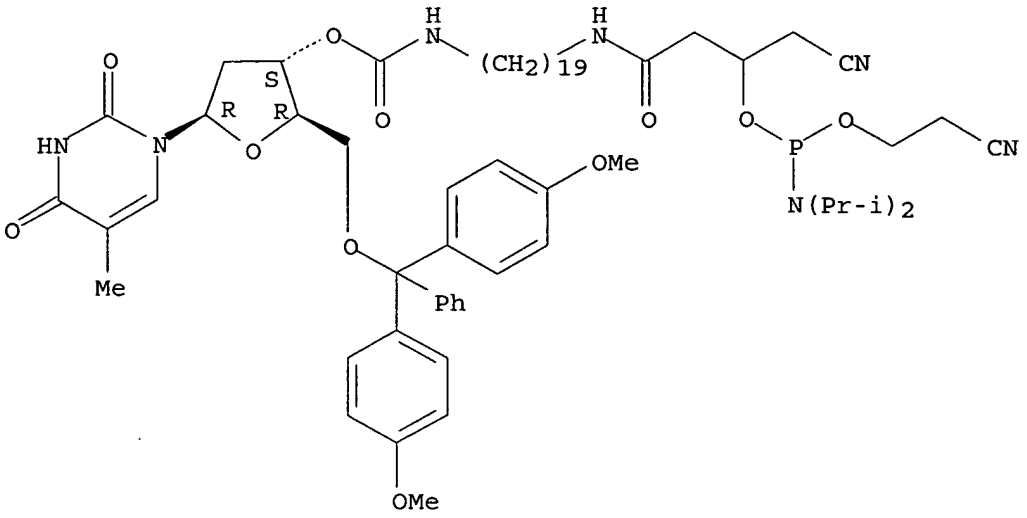
RN 794520-60-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[26-[bis(1-methylethyl)amino]-29-cyano-24-(cyanomethyl)-22-oxo-25,27-dioxa-2,21-diaza-26-phosphanonacosanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



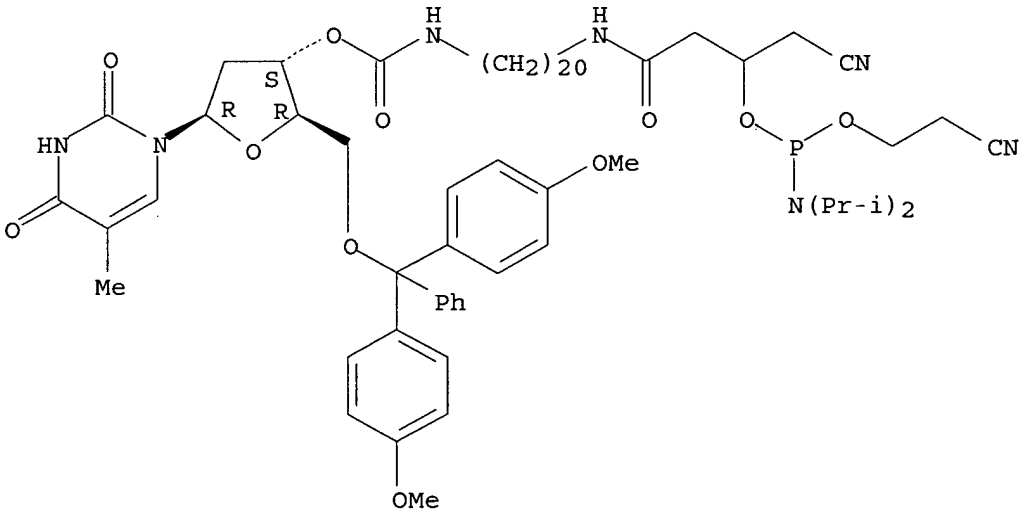
RN 794520-61-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[27-[bis(1-methylethyl)amino]-30-cyano-25-(cyanomethyl)-23-oxo-26,28-dioxa-2,22-diaza-27-phosphatriacontanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



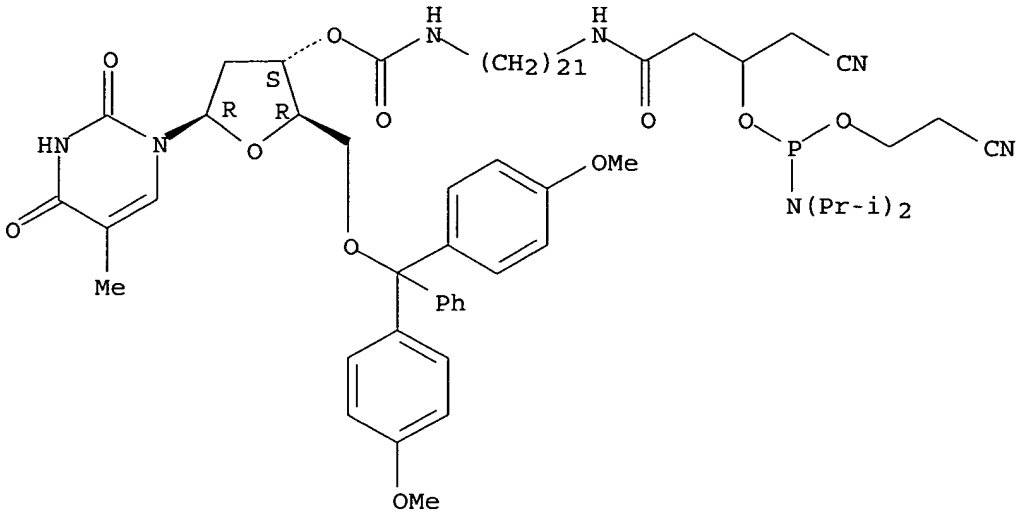
RN 794520-62-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[28-[bis(1-methylethyl)amino]-31-cyano-26-(cyanomethyl)-24-oxo-27,29-dioxa-2,23-diaza-28-phosphahentriacontanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 794520-63-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[29-[bis(1-methylethyl)amino]-32-cyano-27-(cyanomethyl)-25-oxo-28,30-dioxa-2,24-diaza-29-phosphahentriacontanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



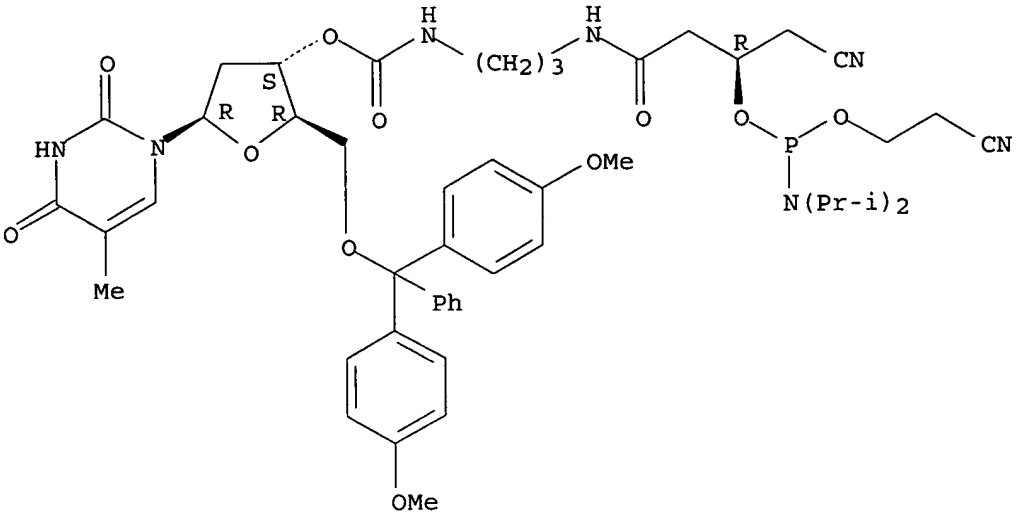
L23 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:977024 CAPLUS
DOCUMENT NUMBER: 140:253800
TITLE: A novel reagent for the chemical phosphorylation of oligonucleotides
AUTHOR(S): Leuck, Michael; Vagle, Kurt E.; Shawn Roach, J.; Wolter, Andreas
CORPORATE SOURCE: Proligo Biochemie GmbH Hamburg, Hamburg, D-21147, Germany
SOURCE: Tetrahedron Letters (2004), 45(2), 321-324
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253800

AB A novel phosphoramidite reagent was developed to convert terminal hydroxyl groups of oligonucleotides into phosphate monoesters. The reagent's appearance as a solid foam is advantageous for its manipulation and handling in solid-phase synthesis and improves its thermal stability.

IT **669013-14-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phosphate monoester oligonucleotides via phosphorylation of terminal hydroxyl groups in oligonucleotides)

RN 669013-14-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[(9R)-11-[bis(1-methylethyl)amino]-14-cyano-9-(cyanomethyl)-7-oxo-10,12-dioxa-2,6-diaza-11-phosphatetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:397888 MARPAT
TITLE: Oligonucleotides containing α-L-ribonucleosides, their synthesis and use in diagnosis and therapy
INVENTOR(S): Wengel, Jesper
PATENT ASSIGNEE(S): Exiqon A/S, Den.
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003039523 | A2 | 20030515 | WO 2002-IB5080 | 20021105 |
| WO 2003039523 | A3 | 20031204 | | |

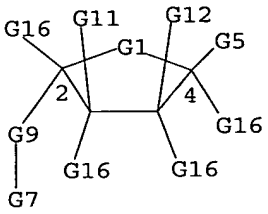
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2001-1640 20011105
US 2001-337447P 20011105

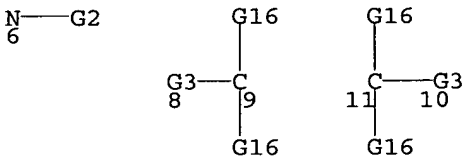
AB The invention relates to novel α-L-RNA monomers, which, when incorporated into an oligonucleotide impair a higher tendency towards hybridization with a RNA complement, as compared to a DNA complement. The invention also relates to a process for the preparation of an α-L-RNA

modified oligonucleotide and an intermediate for manufacturing the same. The novel oligonucleotides are useful for a variety of therapeutic, diagnostic, and general mol. biol. applications. Thus, oligonucleotides comprising α-L-RNA monomers sometimes exhibited lower hybridization tendencies with DNA than with RNA. The hybridization efficiency may be increased by incorporating LNA monomers into the oligonucleotide. Introduction of α-L-RNA monomers in oligonucleotides increased their resistance to nucleases.

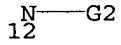
**MSTR 1**



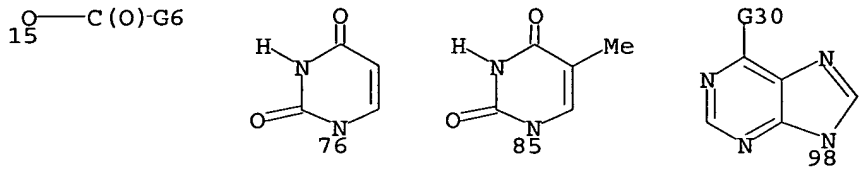

G1 = **O** / S / S(O) / SO2 / 6 / 8-2 9-4 / 11-2 10-4 / G19

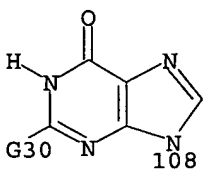


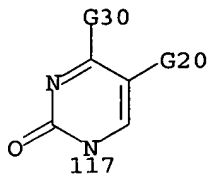

G2 = alkyl <containing 1-4 C> / H
G3 = O / S / 12




G5 = H / OH / alkoxy <containing 1-4 C> (opt. substd.) / alkyl <containing 1-4 C> (opt. substd.) / 15 / R <"optionally protected nucleobases, DNA intercalators, photochemically active groups, thermochemically active groups, chelating groups, reporter groups, or ligands"> / **(Specifically claimed: 76 / 85 / 98 / 108 / 117)**

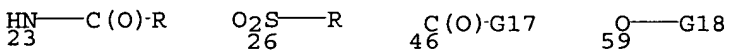


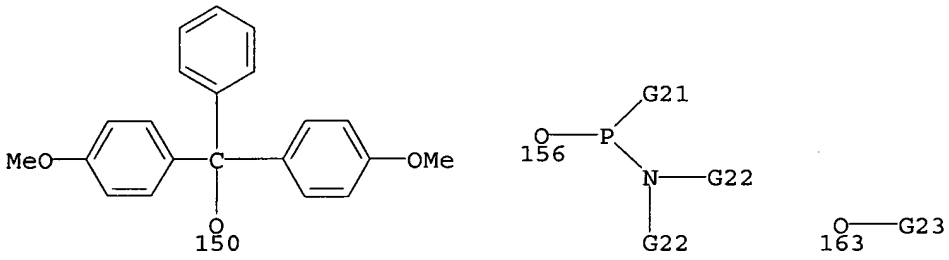


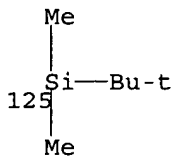

G6 = carbon chain <containing 1-4 C> (opt. substd.) / H / R

G7 = R <"nucleotide or oligonucleotide residue"> / R / H / alkyl <containing 1-12 C> (opt. substd.) / alkenyl <containing 2-12 C> (opt. substd.) / alkynyl <containing 2-12 C> (opt. substd.) / OH / 59 / 46 / alkylcarbonyl <containing 1-12 C> / aryl (opt. substd.) / heteroaryl (opt. substd.) / NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / alkylcarbonylamino <containing 1-6 C> / 23 / 26 / NO2 / N3 / SH / alkylthio <containing 1-6 C> / F / Cl / Br / I / **156** / (Specifically claimed: OPO3H2 / OP2O6H3 / OP3O9H4 / 150 / 163)



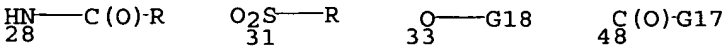

G8 = R <"protecting group"> / (Specifically claimed: alkyl <containing 1-6 C> / trialkylsilyl <each alkyl containing 1-6 C> / 125)



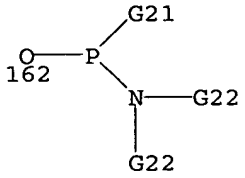

G9 = CH2 / **carbon chain <containing 1 or more C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G10)**

G10 = OH / **48** / **alkylcarbonyl <containing 1-12 C>** / aryl (opt. substd.) / heteroaryl (opt. substd.) / 33 / NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / alkylcarbonylamino <containing 1-6 C> / 28 / **31** / NO2 / N3 /

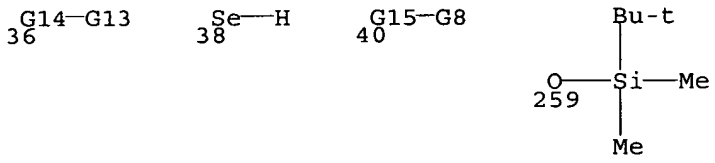
SH / alkylthio <containing 1-6 C> / F / Cl / Br / I

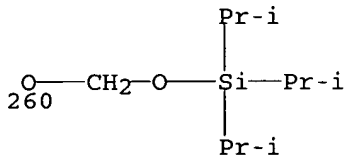


G11 = R <"nucleotide or oligonucleotide residue"> / R /
H / 162

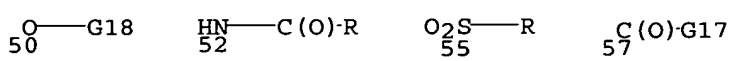


G12 = F / Cl / Br / I / 36 / 38 / NH2 /
alkylamino <containing 1-4 C> /
dialkylamino <each alkyl containing 1-4 C> / OH / SH / 40 /
alkoxy <containing 1-12 C> (opt. substd.) /
alkenyloxy <containing 2-12 C> (opt. substd.) /
(Specifically claimed: 260 / 259)





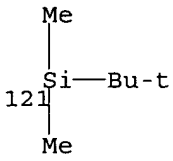
G13 = alkyl <containing 1-6 C> / Ph
G14 = S / Se
G15 = O / S
G16 = H / alkyl <containing 1-12 C> (opt. substd.) /
alkenyl <containing 2-12 C> (opt. substd.) /
alkynyl <containing 2-12 C> (opt. substd.) / OH / **57** /
alkylcarbonyl <containing 1-12 C> / aryl (opt. substd.) /
heteroaryl (opt. substd.) / 50 / NH2 /
alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
alkylcarbonylamino <containing 1-6 C> / 52 / 55 / NO2 / N3 /
SH / alkylthio <containing 1-6 C> / F / Cl / Br / I



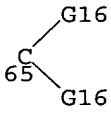
G17 = **OH** / alkoxy <containing 1-12 C> / H /

aryloxy (opt. substd.) / aryl (opt. substd.) /
heteroaryloxy (opt. substd.) / heteroaryl (opt. substd.) /
NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
alkylamino <containing 1-6 C> (substd. by NH2)

G18 = alkyl <containing 1-12 C> /
alkenyl <containing 2-12 C> / aryl (opt. substd.) /
heteroaryl (opt. substd.) / R <"protecting group"> /
alkylcarbonyl <containing 1-6 C> /
alkylsulfonyl <containing 1-6 C> /
(Specifically claimed: alkyl <containing 1-6 C> /
trialkylsilyl <each alkyl containing 1-6 C> / 121)

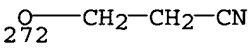


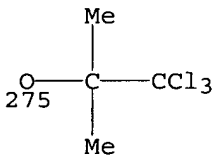

G19 = (1-2) 65

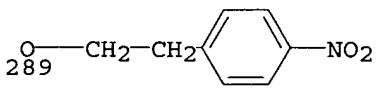


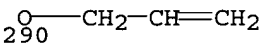

G20 = H / Me

G21 = R <"protecting group"> /
**(Specifically claimed: 272** / 275 / 289 / 290 / OMe / SMe)



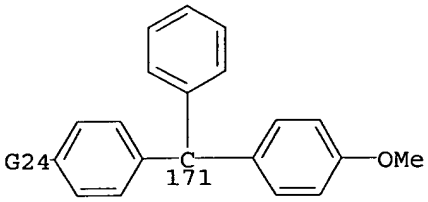



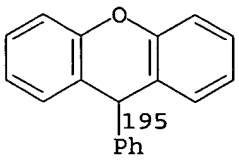



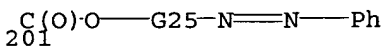



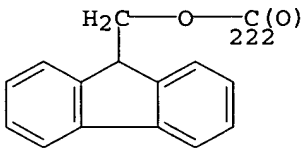

G22 = alkyl <containing 1-6 C> (opt. substd.) /
alkenyl <containing 2-6 C> (opt. substd.)

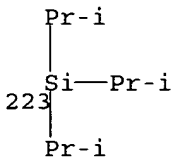
G23 = 171 / 195 / CO2Et / 201 /
2-tetrahydropyranyl (opt. substd. by OMe) / 222 / SiMe3 /
223 / 227 / 238 / Bu-t / Me / COMe (opt. substd. by 1 or
more G27) / COCHMe2 / COCMe3 / COPh / CH2OMe / 248

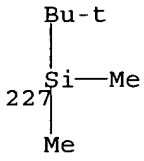


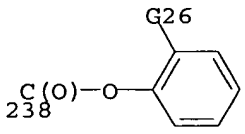



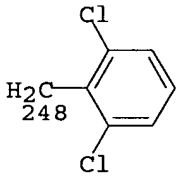



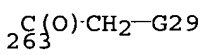



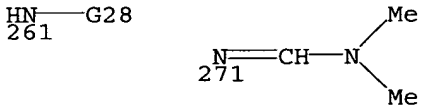




G24 = H / OMe
G25 = phenylene
G26 = H / Br
G27 = Cl / F
G28 = COMe / 263 / COPh




G29 = OPh (opt. substd. by Pr-i)
G30 = NH2 / 261 / 271




Patent location: claim 1
Note: additional oxo, thioxo, imino, methylene, double bond or ring formation also claimed
Note: also incorporates claim 33

L23 ANSWER 4 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:307622 MARPAT
TITLE: Preparation and MALDI-TOF mass spectra of of dinucleotide and oligodeoxyribonucleotide analogs
INVENTOR(S): Baxter, Anthony David; Baylis, Eric Keith; Collingwood, Stephen Paul; Fairhurst, Robin Alec; Taylor, Roger John

PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

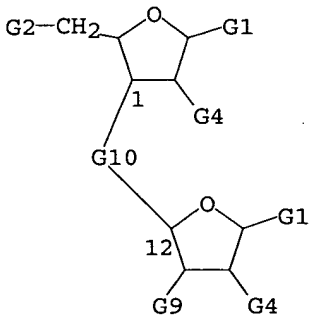
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9735869 | A1 | 19971002 | WO 1997-GB651 | 19970311 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9721031 | A1 | 19971017 | AU 1997-21031 | 19970311 |
| ZA 9702435 | A | 19971118 | ZA 1997-2435 | 19970320 |
| US 6087490 | A | 20000711 | US 1998-155198 | 19981008 |
| PRIORITY APPLN. INFO.: | | | GB 1996-6158 | 19960323 |
| | | | WO 1997-GB651 | 19970311 |

GI

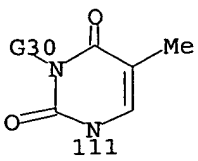
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

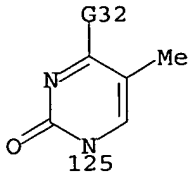
AB Preparation and MALDI-TOF (matrix assisted laser desorption time-of-light) mass spectra of dinucleotide and oligodeoxyribonucleotide analogs I (B1 and B2 are independently nucleobase; R1 = H, hydroxy protecting group; R2 and R3 are independently H, halogen, OH, alkoxy; R4 = H, hydroxy protecting group, phosphoramidyl; R5 = H, halogen, alkyl; R6 = H, phosphoramidyl, hydroxy protecting group; Z = substituted chain containing carbon, nitrogen, and phosphorus) are reported. Thus, TTTRCTCTCTCTCT was prepared and its MALDI-TOF mass spectra is reported.

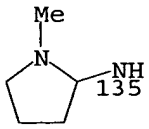
**MSTR 1**



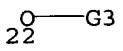

G1 = R <"nucleoside base radicals"> /
**(Specifically claimed: 111** / 125 / 135)

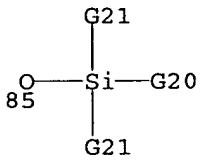


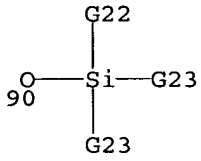



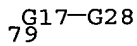

G2 = OH / 22 / (Specifically claimed: 85 / 90)

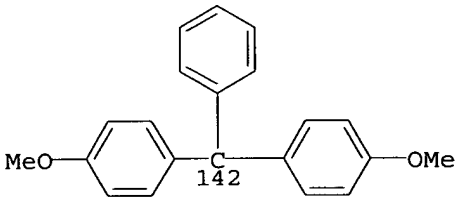


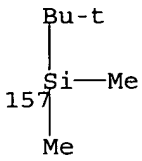



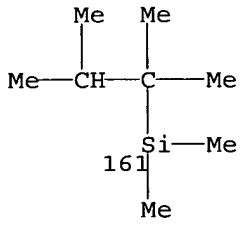



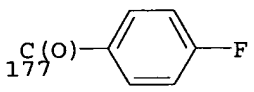

G3 = R <"protecting group"> /
(Specifically claimed: carbon chain <containing 1-10 C>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic>
(opt. substd.) / aryl <containing 6-10 C> (opt. substd.) /
carbon chain <containing 1 or more C>
(substd. by 1 or more G27) / **79** / 142 / 157 / 161 / COPh /
177 / **179)**

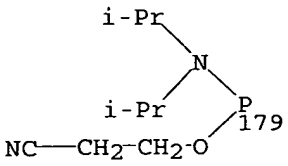


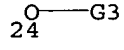



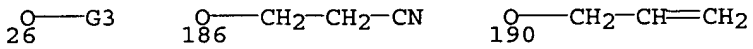

G4 = H / halo / OH / 24 / (Specifically claimed: alkoxy
<containing 1-4 C> (opt. substd. by alkoxy <containing 1-4 C>
) / OMe)



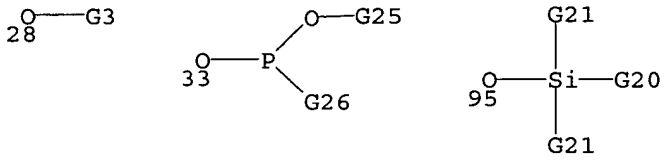

G5 = **carbon chain (opt. substd. by 1 or more G8)** / CH2
G6 = R / (Specifically claimed: halo / OH /
alkoxy <containing 1-4 C> / CN / NO2)
G7 = aryl <containing 6-12 C>
(opt. substd. by 1 or more G6) / R /

(Specifically claimed: halo / OH /
alkoxy <containing 1-4 C> / CN / NO2)

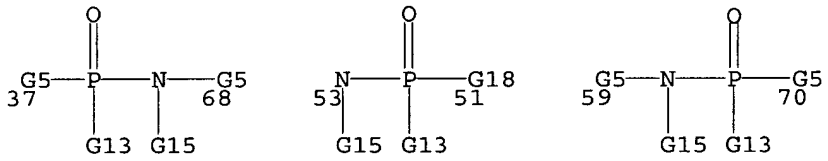
G8 = halo / **OH** / **26** / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
(Specifically claimed: alkoxy <containing 1-4 C> / **CN** / NO2 /
OMe / OEt / 186 / 190)



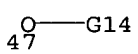

G9 = OH / 28 / 33 / (Specifically claimed: OCOPh (opt. substd. by 1 or more halo) / 95)




G10 = **37-1 68-12** / 53-1 51-12 / 59-1 70-12

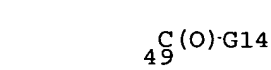



G13 = OH / carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7) /
47

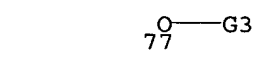



G14 = carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7)

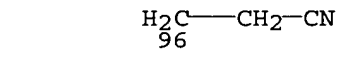
G15 = H / carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7) /
49 / (Specifically claimed: Me)



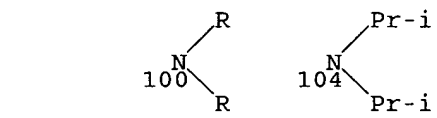

G17 = SO2 / **C(O)**
G18 = carbon chain (opt. substd. by 1 or more G19)
G19 = halo / 77 / aryl (opt. substd. by 1 or more G6) / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / R / (Specifically claimed: halo / OH / alkoxy <containing 1-4 C> / CN / NO2)



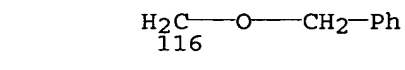

G20 = alkyl <containing 1-6 C>
G21 = aryl <containing 6-8 C>
G22 = alkyl <containing 2-10 C>
G23 = alkyl <containing 1-4 C>
G25 = R / (Specifically claimed: 96)




G26 = 100 / (Specifically claimed: 104)

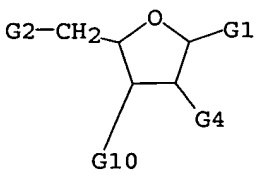



G27 = aryl <containing 6-39 C> (opt. substd.) / R
G28 = carbon chain <containing 1-10 C> (opt. substd.) / carbocycle <containing 3-8 C, non-aromatic> (opt. substd.) / aryl <containing 6-10 C> (opt. substd.) / carbon chain <containing 1-7 C> (substd. by 1 or more G29)
G29 = aryl <containing 6-12 C> (opt. substd.) / R
G30 = H / CH2OH / 116

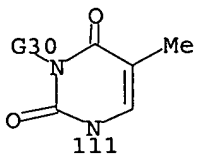


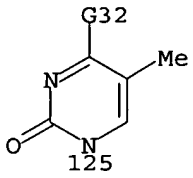

G32 = NH2 / NHCOPh
Patent location: claim 1

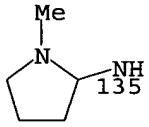
**MSTR 2**



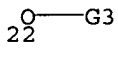
G1 = R <"nucleoside base radicals"> /
**(Specifically claimed: 111** / 125 / 135)

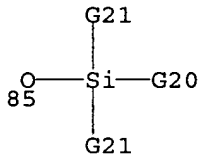


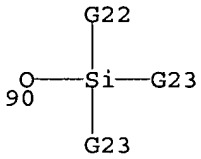




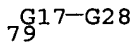
G2 = **OH** / 22 / (Specifically claimed: 85 / 90)

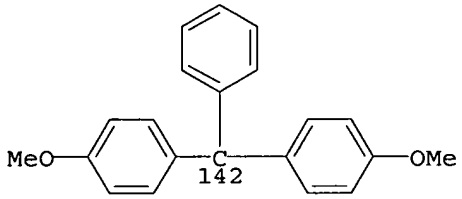


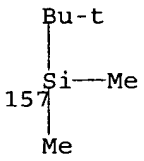


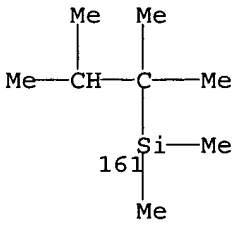


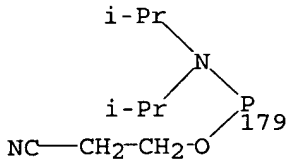
G3 = R <"protecting group"> /
(Specifically claimed: carbon chain <containing 1-10 C>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic>
(opt. substd.) / aryl <containing 6-10 C> (opt. substd.) /
carbon chain <containing 1 or more C>
(substd. by 1 or more G27) / **79** / 142 / 157 / 161 / COPh /
204 / **179)**

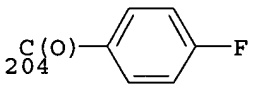








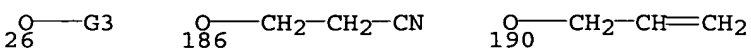




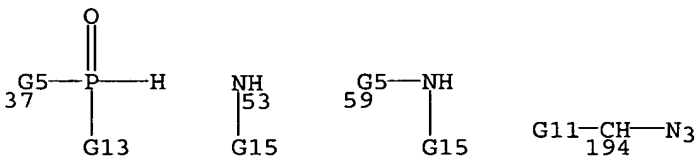
G4 = H / halo / OH / 24 / (Specifically claimed: alkoxy
<containing 1-4 C> (opt. substd. by alkoxy <containing 1-4 C>

) / OMe)

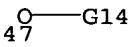
G5 = **carbon chain (opt. substd. by 1 or more G8)** / CH2
G6 = R / (Specifically claimed: halo / OH / alkoxy <containing 1-4 C> / CN / NO2)
G7 = aryl <containing 6-12 C> (opt. substd. by 1 or more G6) / R / (Specifically claimed: halo / OH / alkoxy <containing 1-4 C> / CN / NO2)
G8 = halo / OH / **26** / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / aryl <containing 6-10 C> (opt. substd. by 1 or more G6) / (Specifically claimed: alkoxy <containing 1-4 C> / **CN** / NO2 / OMe / OEt / 186 / 190)



G10 = **37** / N3 / 53 / 59 / 194

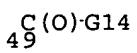


G11 = H / halo / carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / aryl <containing 6-10 C> (opt. substd. by 1 or more G6) / carbon chain <containing 1-7 C> (substd. by 1 or more G7)
G13 = OH / carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / aryl <containing 6-10 C> (opt. substd. by 1 or more G6) / carbon chain <containing 1-7 C> (substd. by 1 or more G7) / 47

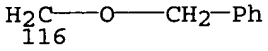


G14 = carbon chain <containing 1-10 C> (opt. substd. by 1 or more G6) / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / aryl <containing 6-10 C> (opt. substd. by 1 or more G6) / carbon chain <containing 1-7 C> (substd. by 1 or more G7)
G15 = H / carbon chain <containing 1-10 C>

(opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic>
(opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7) /
49 / (Specifically claimed: Me)

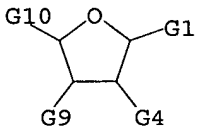



G17 = SO2 / **C(O)**
G20 = alkyl <containing 1-6 C>
G21 = aryl <containing 6-8 C>
G22 = alkyl <containing 2-10 C>
G23 = alkyl <containing 1-4 C>
G27 = aryl <containing 6-39 C> (opt. substd.) / R
G28 = carbon chain <containing 1-10 C> (opt. substd.) /
carbocycle <containing 3-8 C, non-aromatic> (opt. substd.) /
aryl <containing 6-10 C> (opt. substd.) /
carbon chain <containing 1-7 C> (substd. by 1 or more G29)
G29 = aryl <containing 6-12 C> (opt. substd.) / R
G30 = H / CH2OH / 116

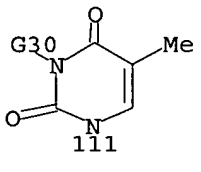


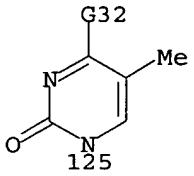

G32 = NH2 / NHCOPh
Patent location: claim 10
Note: also incorporates claims 14, 16, 18 and 20

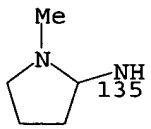
**MSTR 3**




G1 = R <"nucleoside base radicals"> /
**(Specifically claimed: 111** / 125 / 135)

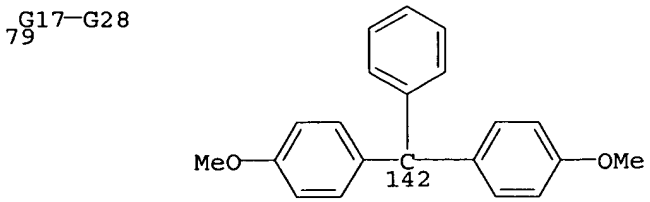


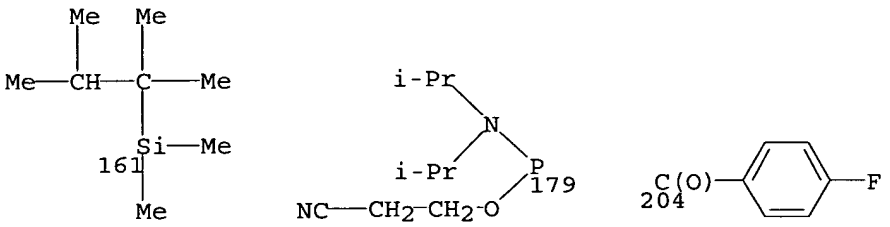



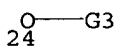

G3 = R <"protecting group"> /
(Specifically claimed: carbon chain <containing 1-10 C>
(opt. substd.) / carbocycle <containing 3-7 C, non-aromatic>
(opt. substd.) / aryl <containing 6-10 C> (opt. substd.) /
carbon chain <containing 1 or more C>

(substd. by 1 or more G27) / **79** / 142 / 157 / 161 / COPh / **179** / 204)

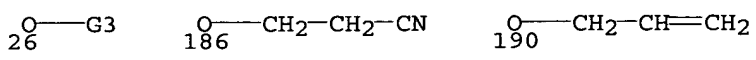


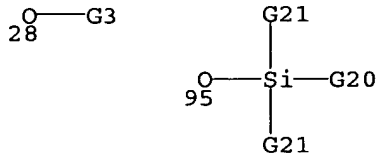

G4 = H / halo / OH / 24 / (Specifically claimed: alkoxy <containing 1-4 C> (opt. substd. by alkoxy <containing 1-4 C> ) / OMe)



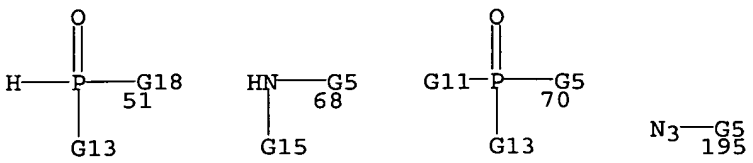

G5 = **carbon chain (opt. substd. by 1 or more G8)** / CH2
G6 = R / (Specifically claimed: halo / OH / alkoxy <containing 1-4 C> / CN / NO2)
G7 = aryl <containing 6-12 C> (opt. substd. by 1 or more G6) / R / (Specifically claimed: halo / OH / alkoxy <containing 1-4 C> / CN / NO2)
G8 = halo / **OH** / **26** / carbocycle <containing 3-8 C, non-aromatic> (opt. substd. by 1 or more G6) / aryl <containing 6-10 C> (opt. substd. by 1 or more G6) / (Specifically claimed: alkoxy <containing 1-4 C> / **CN** / NO2 / OMe / OEt / 186 / 190)



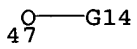

G9 = OH / 28 / (Specifically claimed: OCOPh (opt. substd. by 1 or more halo) / 95)



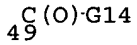
G10 = **195** / 68 / 51 / 70



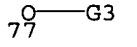
G11 = R <"protecting group">
G13 = OH / carbon chain <containing 1-10 C>
(opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic>
(opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7) /
47



G14 = carbon chain <containing 1-10 C>
(opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic>
(opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7)
G15 = H / carbon chain <containing 1-10 C>
(opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic>
(opt. substd. by 1 or more G6) /
aryl <containing 6-10 C> (opt. substd. by 1 or more G6) /
carbon chain <containing 1-7 C> (substd. by 1 or more G7) /
49 / (Specifically claimed: Me)

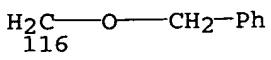


G17 = SO2 / **C(O)**
G18 = carbon chain (opt. substd. by 1 or more G19)
G19 = halo / 77 / aryl (opt. substd. by 1 or more G6) /
carbocycle <containing 3-8 C, non-aromatic>
(opt. substd. by 1 or more G6) / R /
(Specifically claimed: halo / OH /
alkoxy <containing 1-4 C> / CN / NO2)



G20 = alkyl <containing 1-6 C>
G21 = aryl <containing 6-8 C>
G27 = aryl <containing 6-39 C> (opt. substd.) / R
G28 = carbon chain <containing 1-10 C> (opt. substd.) /
carbocycle <containing 3-8 C, non-aromatic> (opt. substd.) /
aryl <containing 6-10 C> (opt. substd.) /

carbon chain <containing 1-7 C> (substd. by 1 or more G29)
G29 = aryl <containing 6-12 C> (opt. substd.) / R
G30 = H / CH2OH / 116



G32 = NH2 / NHCOPh
Patent location: claim 10
Note: also incorporates claims 12, 14, 18 and 31

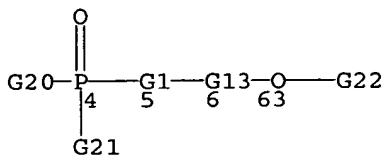
L23 ANSWER 5 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:134804 MARPAT
TITLE: preparation of N-phosphonoamino acids
INVENTOR(S): Zhao, Yufen; Yin, Yingwu; Li, Yanmei
PATENT ASSIGNEE(S): Qinghua University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 42 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1079473 | A | 19931215 | CN 1993-100260 | 19930114 |

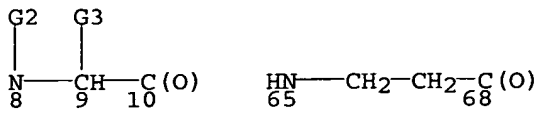
PRIORITY APPLN. INFO.: CN 1993-100260 19930114

AB R1-(CH2)i[R2-(CH2)j]P(O)-NH-CH(R8)-CO-[NH-CHR4-CO]n-OR5 [R8 = side-chain of natural or synthetic amino acid, e.g., that of alanine, arginine, etc.; n = 0-20; i, j = 0-30; R1, R2, R5 = H, (un)substituted alkyl] are prepared via reaction of the appropriate amino acids or their esters with phosphite ester. E.g., α-alanine in aqueous EtOH was added to di-Me phosphite and Et3N in CCl4 and the resulting mixture was stirred for 4 h to give, after evaporation of the solvent and adjustment to pH 3 with 5-10% HCl, (MeO)2P(O)-NH-CHMe-CO2H.

**MSTR 1**

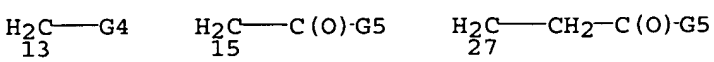


G1 = **8-4 10-6** / 65-4 68-6

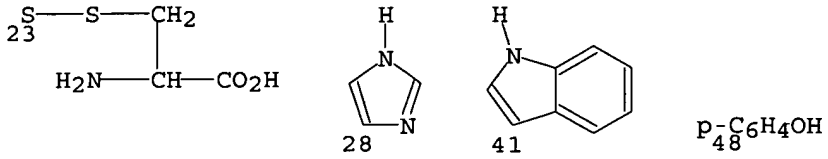


G2 = H
G3 = 13 / CH2CH2CH2NHC(NH)NH2 / 15 / 27 / H / Bu-s /

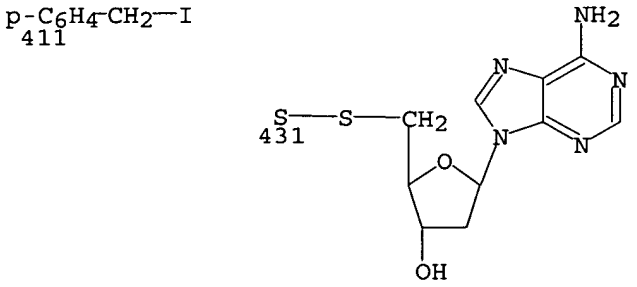
Bu-i / CH2CH2CH2CH2NH2 / CH2CH2SMe / CH(OH)Me / Pr-i

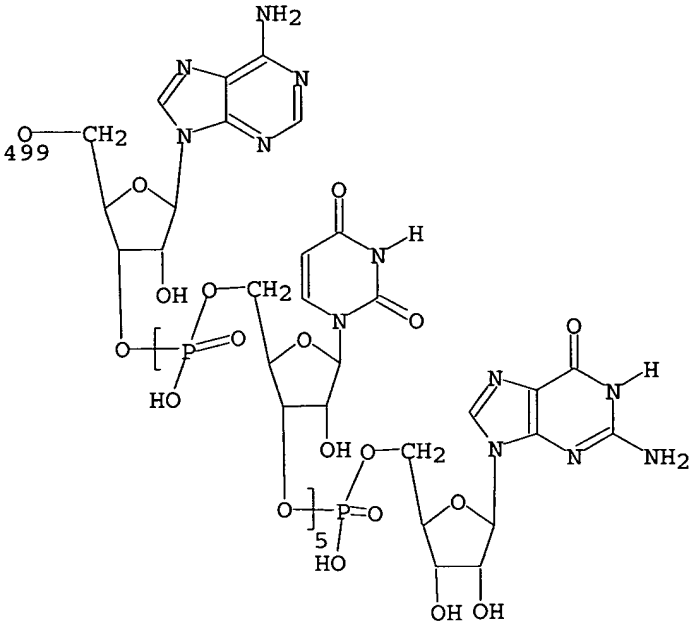


G4 = H / SH / 23 / 28 / Ph / OH / 41 / 48

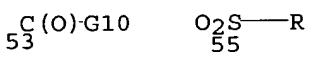


G5 = NH2 / OH
G6 = OH / H
G7 = bond / **alkylene <containing 1-30 C, unbranched>**
G8 = H / **alkyl (opt. substd. by 1 or more G9)** /
cycloalkyl (opt. substd. by 1 or more G9) /
**Ph (opt. substd. by 1 or more G11)** /
R <"nucleotide, oligo-nucleotide, sterols, polysaccharides and their derivatives"> / (Examples: 411 / 431 / 499)

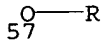




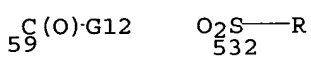
G9 = OH / halo / **53** / NO2 / **55** / (Example: SO3H)



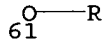
G10 = **OH** / R / H / 57



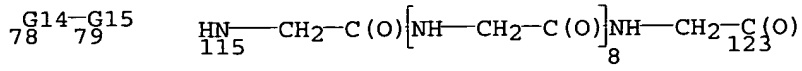
G11 = alkyl / OH / halo / 59 / NO2 / 532

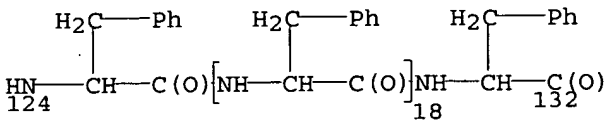


G12 = OH / H / 61

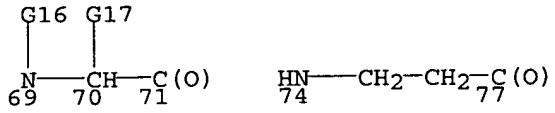


G13 = **bond** / 78-5 79-63 / (Examples: 115-5 123-63 / 124-5 132-63 )

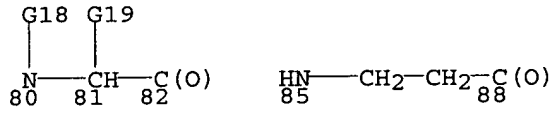




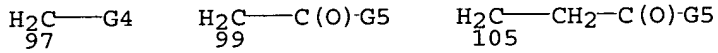
G14 = 69-5 71-79 / 74-5 77-79



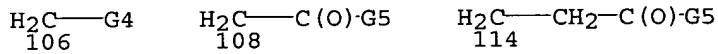
G15 = bond / 80-78 82-63 / 85-78 88-63



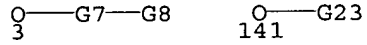
G16 = H
G17 = 97 / CH2CH2CH2NHC(NH)NH2 / 99 / 105 / H / Bu-s / Bu-i / CH2CH2CH2CH2NH2 / CH2CH2SMe / CH(OH)Me / Pr-i



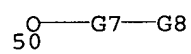
G18 = H
G19 = 106 / CH2CH2CH2NHC(NH)NH2 / 108 / 114 / H / Bu-s / Bu-i / CH2CH2CH2CH2NH2 / CH2CH2SMe / CH(OH)Me / Pr-i



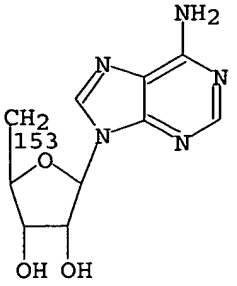
G20 = **3** / (Example: 141)

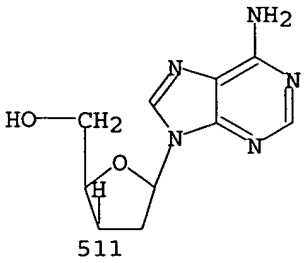


G21 = **50**

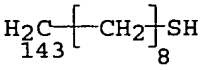


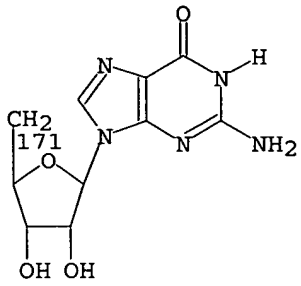
G22 = H / alkyl (opt. substd. by 1 or more G9) / cycloalkyl (opt. substd. by 1 or more G9) / Ph (opt. substd. by 1 or more G11) / R <"nucleotide, oligo-nucleotide, sterols, polysaccharides and their derivatives"> / (Examples: CH2Ph / **153** / 511)

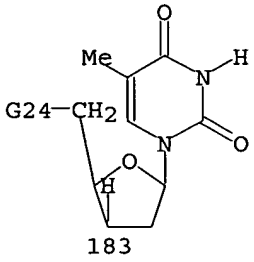


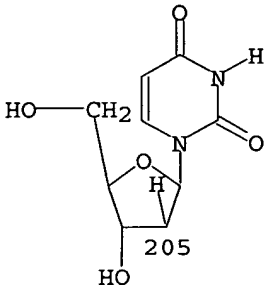



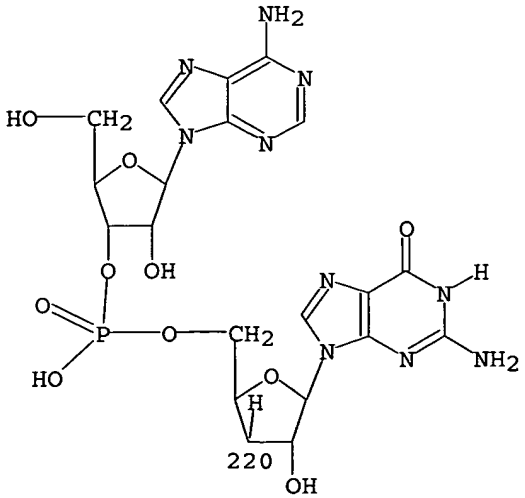

G23 = 143 / 171 / 183 / 205 / 220 / 365 / 541

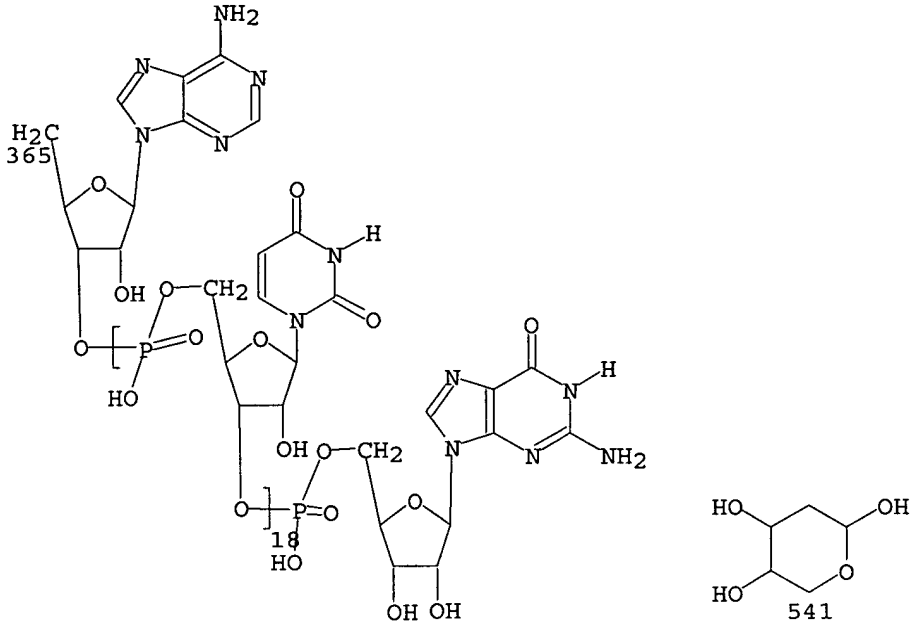


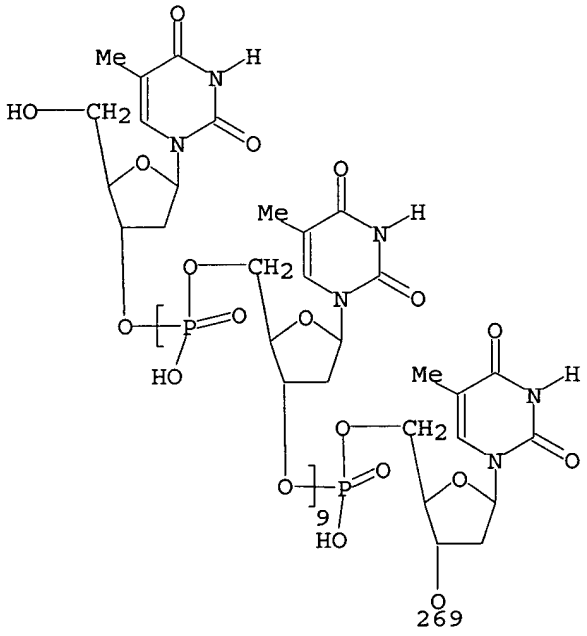



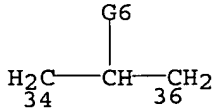





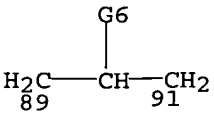
G24 = OH / 269



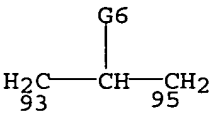
G2 +G3 = 34-8 36-9



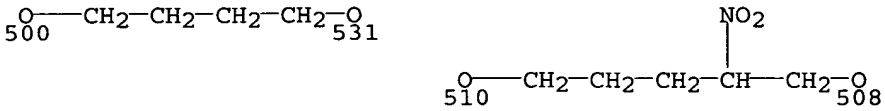
G16+G17= 89-69 91-70



G18+G19= 93-80 95-81



G20+G21= 500-4 531-4 / 510-4 508-4



Patent location: claim 1